

10/540,093

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	3	("5618707" "5767115" "5886171"). PN.	US-PGPUB; USPAT	OR	ON	2006/04/12 14:05
L2	191	548/228.icls.	US-PGPUB; USPAT	OR	ON	2006/04/12 14:06
L3	287	548/228.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/12 14:06
L4	1	l2 and ezetimibe	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/04/12 14:08
L5	6	l2 and DIP	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/04/12 14:09

10/540,093 YONG CHU 4-12-2006

\$%^STN;HighlightOn=;HighlightOff=;

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
NEWS 4 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 5 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
INPADOC
NEWS 6 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 7 JAN 17 IPC 8 in the WPI family of databases including WPIFV
NEWS 8 JAN 30 Saved answer limit increased
NEWS 9 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 10 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 11 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 12 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 13 FEB 28 MEDLINE/LMEDLINE reload improves functionality
NEWS 14 FEB 28 TOXCENTER reloaded with enhancements
NEWS 15 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
property data
NEWS 16 MAR 01 INSPEC reloaded and enhanced
NEWS 17 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18 MAR 08 X.25 communication option no longer available after June 2006
NEWS 19 MAR 22 EMBASE is now updated on a daily basis
NEWS 20 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 22 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 23 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 25 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4

DICTIONARY FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
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* available and contains the CA role and document type information. *
*

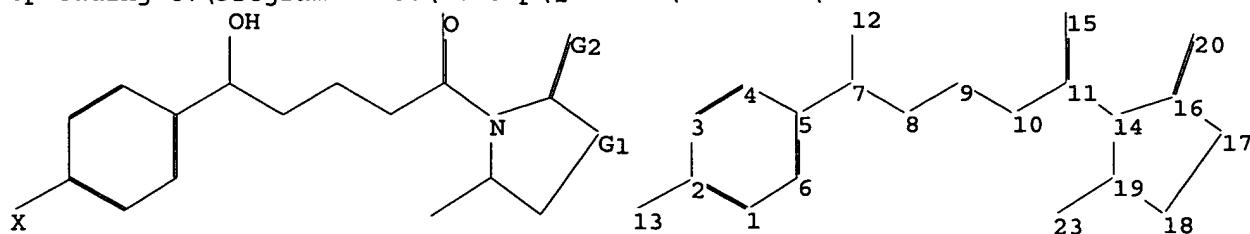
Structure search iteration limits have been increased. See HELP SLIMITS for details.

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=>

Uploading C:\Program Files\Stnexp\Queries\10540093\10540093.str



chain nodes :

7 8 9 10 11 12 13 15 20

ring nodes :

1 2 3 4 5 6 14 16 17 18 19

ring/chain nodes :

23

chain bonds :

2-13 5-7 7-8 7-12 8-9 9-10 10-11 11-14 11-15 16-20 19-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-16 14-19 16-17 17-18 18-19

exact/norm bonds :

2-13 5-7 7-8 7-12 8-9 9-10 10-11 11-14 11-15 14-16 14-19 16-17 16-20

17-18 18-19 19-23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

G2:O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom

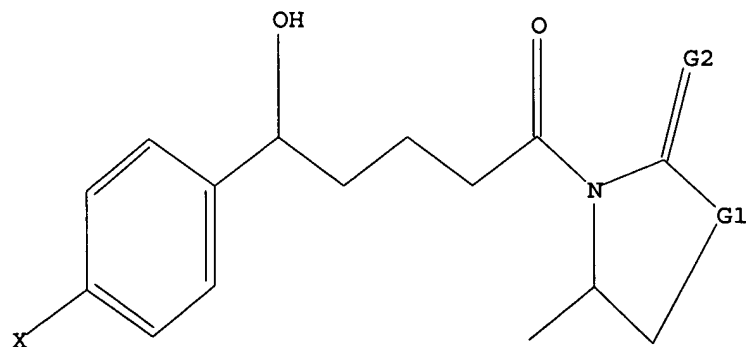
19:Atom 20:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 O,S

G2 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:26:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 34 TO ITERATE

100.0% PROCESSED 34 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 331 TO 1029
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:26:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 925 TO ITERATE

100.0% PROCESSED 925 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L3 7 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

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FILE COVERS 1907 - 12 Apr 2006 VOL 144 ISS 16
FILE LAST UPDATED: 11 Apr 2006 (20060411/ED)

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L4 14 L3

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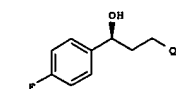
L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:638839 CAPLUS
DOCUMENT NUMBER: 143:153272
TITLE: Asymmetric synthesis of hydroxyalkylazetidinone derivatives, useful as hypocholesterolemic agents
INVENTOR(S): Kumar, Vatsendra; Maeran, Hashim Nizar Poovanathi Nagooz; Singh, Shailendra Kumar; Rathod, Parendu Dhirajlal; Ganagahedkar, Kiran Kumar; Bose, Prosenjit; Kumar, Pramod
PATENT ASSIGNTEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

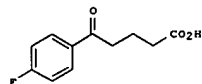
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066120	A2	20050721	WO 2004-184281	20041223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MY, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: IN 2003-DE1643 A 20031230

OTHER SOURCE(S): MARPAT 143:153272
GI



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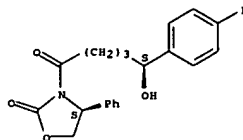


II

AB The invention relates to an asym. synthesis of hydroxyalkylazetidinone derivs. of formula I (wherein: Q is a derivative of azetidinone, oxazolone, or CH2C(O)-O-(alkyl/aryl/arylsakyl)), useful as hypocholesterolemic agents (no

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
biol. data). The invention compds. were prepd. via stereoselective redn. of benzylic ketone using (-)-8-chlorodisopinocampheylborane. For instance, hydroxyalkylazetidinone deriv. (-)-I (Q = CH2CO2H) was prepd. via stereoselective redn. of oxopentanoate deriv. II.
17 189028-95-3P
RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of hydroxyalkylazetidinone derivs. useful as hypocholesterolemic)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Current application

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:472140 CAPLUS
DOCUMENT NUMBER: 143:7700
TITLE: A stereoselective reduction process for the preparation of an exetimibe intermediate
3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-2-oxazolidinone from
3-[5-(4-fluorophenyl)-1,5-dioxopentyl]-4-phenyl-2-oxazolidinone using (-)-[3-chlorodisopinocampheylborane
INVENTOR(S): Parthasaradhi Reddy, Bandi; Rathnakar Reddy, Kura; Reji Reddy, Repolu; Muralidhara Reddy, Dasari; Subash Chander Reddy, Kesireddy
PATENT ASSIGNTEE(S): Hetero Drugs Limited, India
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

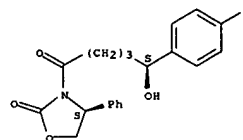
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049592	A1	20050602	WO 2003-IN366	20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MY, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

TAU 2003282384 A1 20050608 AU 2003-282384 20031124
US 2006069137 A1 20060330 US 2005-540223 20050620
PRIORITY APPLN. INFO.: WO 2003-IN366 A 20031124

OTHER SOURCE(S): CASREACT 143:7700; MARPAT 143:7700
AB An intermediate of exetimibe, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-2-oxazolidinone, is prepared in high yield and selectivity by the stereoselective reduction of 3-[5-(4-fluorophenyl)-1,5-dioxopentyl]-4-phenyl-2-oxazolidinone using (-)-3-chlorodisopinocampheylborane.
IT 189028-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective reduction process for the preparation of an exetimibe intermediate
3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-2-oxazolidinone from
3-[5-(4-fluorophenyl)-1,5-dioxopentyl]-4-phenyl-2-oxazolidinone)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

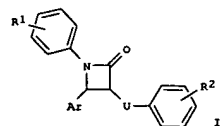


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2005:451353 CAPLUS
DOCUMENT NUMBER: 143:7939
TITLE: Preparation of 4-biaryl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia
INVENTOR(S): Martinez, Eduardo; Talley, John J.; Antonelli, Stephen; Barden, Timothy C.; Lundrigan-Soucy, Regina; Schairer, Wayne C.; Yang, Jing-Jing; Zimmer, Daniel
P.
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047248	A1	20050526	WO 2004-0537715	20041110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DE, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005209165	A1	20050922	US 2004-986570	20041110
PRIORITY APPL. INFO.:			US 2003-518698P	P 20031110
			US 2004-549577P	P 20040303
			US 2004-592529P	P 20040730
			US 2004-614005P	P 20040928

OTHER SOURCE(S): MARPAT 143:7939
GI



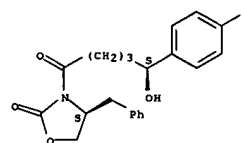
AB 4-Biaryl-1-phenylazetidin-2-ones I, wherein Ar is substituted aryl, R1 and R2 are independently H, halogen, OH, alkyl, OCF2H, OCF3, CF2H, CHF2,

L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2004:2851 CAPLUS
DOCUMENT NUMBER: 140:59508
TITLE: Preparation of diphenylazetidinones substituted by acidic groups as hypolipidemics
INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenachmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000805	A1	20031231	WO 2003-EP5816	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DE, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10227508	A1	20040108	DE 2002-10227508	20020619
CA 2490112	AA	20031231	CA 2003-2490112	20030604
AU 2003238210	A1	20040106	AU 2003-238210	20030604
EP 1517891	A1	20050330	EP 2003-735535	20030604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011896	A	20050405	BR 2003-11896	20030604
CN 1662495	A	20050831	CN 2003-814332	20030604
JP 2005533073	T2	20051104	JP 2004-514661	20030604
US 2004067913	A1	20040408	US 2003-463388	20030618
NO 2005000134	A	20050318	NO 2005-134	20050111
PRIORITY APPL. INFO.:			DE 2002-10227508	A 20020619
			US 2002-418678P	P 20021015
			WO 2003-EP5816	W 20030604

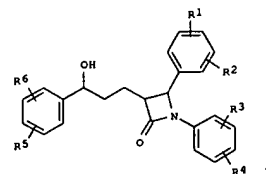
OTHER SOURCE(S): MARPAT 140:59508
GI

L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
alkoxy, methylenedioxy, ethylenedioxy, hydroxy-alkyl, CN, CF3, nitro, SH, thioalkyl, amino, alkylamino, dialkylamino, amino-sulfonyl, alkylamino-sulfonyl, dialkylamino-sulfonyl, alkyl-sulfonyl, arylsulfonyl, acyl, carboxy, alkoxycarbonyl, carboxy-alkyl, carboxamido, alkyl sulfonide, acylamino, amidino, Ph, benzyl, phenoxy, benzyloxy, PO3H2, SO3H, B(OH)2, sugar, polyol, glucuronide, sugar carbamate; R2 is U is alkylene in which one or more CH2 may be replaced by a radical chosen from S, S(O), SO2, O, C(O), CHOH, NH, CHF, CF2, CH(O-lower-alkyl), CH(O-lower-acyl), CH(OH)2, CH(OH)2, CH(OH)2, or NOH; were prepd. and used for the treatment of hypercholesterolemia. Thus, (1R)-1,5-anhydro-1-[4'-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxazetidin-2-yl]biphenyl-4-yl]-L-glucitol, was prepd. and tested for the treatment of hypercholesterolemia.
A method of prevention or treatment of a cholesterol-associated tumor benign prostatic hypertrophy, benign breast tumor, benign endometrial tumor, benign prostatic hypertrophy, and benign colon tumor, is claimed.
Pharmacokinetics study of title compds. and bioavailability studies are carried out in rats. Compds. of the invention were tested in the rat cholesterol absorption (inhibition range 7-76 %).
IT 852148-49-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
Preparation of 4-biaryl-1-phenylazetidin-2-one glycosides useful for the treatment of hypercholesterolemia
RN 852148-49-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-(phenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

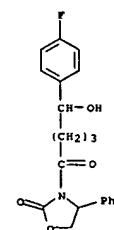


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



AB Title compds. [I: R1-R6 = H, F, Cl, Br, iodo, CF3, NO2, N3, CN, CO2H, CO2alkyl, CONH2, CONHalkyl, CO-30-alkylene-(LAG)n, etc.; n = 1-5; 21 C of the alkylanes may be replaced by SOO-2, O, CO, CS, CH:CH, C:tpbond, C, alkylimino, phenylimino, alkylphenylimino, etc.; LAG = (CH2)1-10-SO3H, (CH2)0-10-P(O)(OH)2, (CH2)0-10-OP(O)(OH)2, (CH2)0-10-CO2H; with proviso(s), were prepared Thus,
4-[5-(tert-butylidimethylsilyloxy)-5-(4-fluorophenyl)-1-(4-methoxyphenyl)-2-(2-oxo-4-phenyloxazolidin-3-carbonyl)pentylamino]benzonitrile (preparation given) in Me tert-Bu ether was
Treated with N,O-bis(trimethylsilyl)acetamide and Bu4NF in THF and the mixture was stirred 2 h at room temperature to give 4-[3-[3-(tert-butylidimethylsilyloxy)-3-(4-fluorophenyl)propyl]-2-(4-methoxyphenyl)-4-oxazetidin-1-yl]benzonitrile. This was converted to 4-[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxazetidin-1-yl]benzylamino]butane-1-sulfonic acid in several steps. The latter inhibited cholesterol uptake by mouse liver with ED50 = 1.0 mg/mouse orally.
IT 439080-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
Preparation of diphenylazetidinones substituted by acidic groups as hypolipidemics
RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



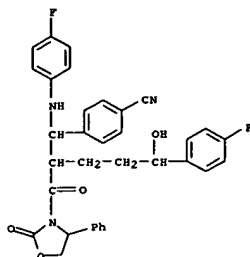
IT 638212-96-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent);
(preparation of diphenylazetidinones substituted by acidic groups as hypolipidemics)

RN 638212-96-1 CAPLUS

CN 2-Oxazolidinone,

3-[2-[(4-cyanophenyl)[(4-fluorophenyl)amino]methyl]-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ACCESSION NUMBER: 2004:2849 CAPLUS

DOCUMENT NUMBER: 140:77012

TITLE: Preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia

INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-ludwig

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PXXXX2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000803	A1	20031231	WO 2003-EP5814	20030604
W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CE, DE, DK, DM, DS, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KE, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
DE 10227507	A1	20040108	DE 2002-10227507	20020619
CA 2490108	AA	20031231	CA 2003-2490108	20030604
AU 2003238209	A1	20040106	AU 2003-238209	20030604
EP 1517890	A1	20050330	EP 2003-735534	20030604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011984	A	20050426	BR 2003-11984	20030604
CN 1662494	A	20050831	CN 2003-814093	20030604
JP 2005533071	T2	20051104	JP 2004-514655	20030604
US 2004077623	A1	20040422	US 2003-463789	20030618
NO 2005000088	A	20050106	NO 2005-88	20050106
PRIORITY APPLN. INFO.: DE 2002-10227507 A 20020619				
US 2002-411981P P 20020919				
WO 2003-EP5814 W 20030604				

OTHER SOURCE(S): MARPAT 140:77012
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title comps. I [R1, R2, R3, R4, R5, R6 = (un)substituted alkylene-(LAG)n;
n = 1-5; LAG = sugar; amino sugar; amino acid, etc.] and their pharmaceutically acceptable salts were prepared. For example, condensation of benzonitrile II e.g., prepared from 3-[5-(4-fluorophenyl)-5-

hydroxypentanoyl]-4-phenyloxazolidin-2-one in 4-steps, and hydroxylamine hydrochloride afforded N-hydroxybenzenecarboximidamide III. In rat liver cholesterol absorption assays, 14-examples of compds. I exhibited EC50 values ranging from 0.03<1.0 (mg/mouse), e.g., the EC50 value of N-hydroxybenzenecarboximidamide II was 0.1. Compds. I are claimed

useful for the treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia.

IT 638212-96-1P 639504-71-5P

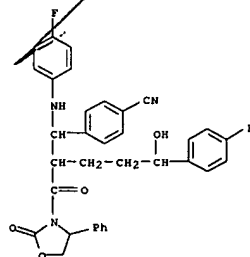
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of diphenylazetidinones for treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia)

RN 638212-96-1 CAPLUS

CN 2-Oxazolidinone,

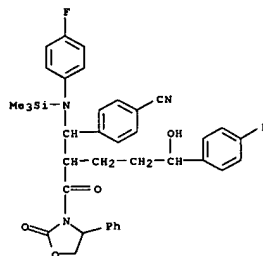
3-[2-[(4-cyanophenyl)[(4-fluorophenyl)amino]methyl]-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 639504-71-5 CAPLUS

CN 2-Oxazolidinone,

3-[2-[(4-cyanophenyl)[(4-fluorophenyl)(trimethylsilyl)amino]methyl]-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



IT 439080-96-3, 3-[5-(4-Fluorophenyl)-5-hydroxypentanoyl]-4-

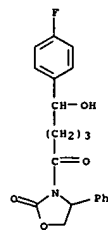
phenyloxazolidin-2-one

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diphenylazetidinones for treatment of hyperlipidemia, arteriosclerosis, and hypercholesterolemia)

RN 439080-96-3 CAPLUS

CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)

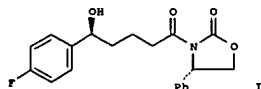


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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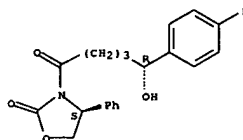
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L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:91023 CAPLUS
 DOCUMENT NUMBER: 138:385340
 TITLE: Process for preparing Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction
 AUTHOR(S): Fu, Xiaoyong; McAllister, Timothy L.; Thiruvengadam, T. K.; Tann, Chou-Hong; Su, Dan
 CORPORATE SOURCE: Synthetic Chemistry Department, Schering-Plough Research Institute, Union, NJ 07083, USA
 SOURCE: Tetrahedron Letters (2003), 44(4), 801-804
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:385340
 GI

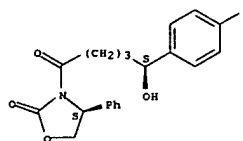


AB The S alc. in the benzylic position of compound I, a key feature of a novel cholesterol lowering agent Ezetimibe, was introduced by a (R)-MeCBS [(R)-Me-Corey-Bakshi-Shibata reagent] catalyzed asym. carbonyl reduction using borane THF complex (BTHF) as the reducing agent. The chemo- and enantioselectivity was dramatically enhanced by using an acid as a scavenger of the stabilizer sodium borohydride present in the com. supplied pure BTHF. The effect of the critical reaction parameters such as addition mode of reagent, temperature, acids as well as water content on the selectivity has been examined This reaction has been successfully applied in the com. process for the preparation of the key intermediate I for Ezetimibe.
 IT 528565-93-7P
 RL: BYP (Byproduct); PREP (Preparation)
 (preparation of Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction)
 RN 528565-93-7 CAPLUS
 CN 2-Oxazolidinone, 3-[(5R)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 189028-95-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction)
 RN 189028-95-3 CAPLUS
 CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



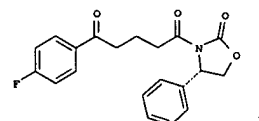
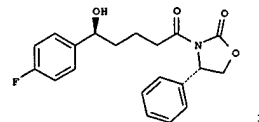
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:77912 CAPLUS
 DOCUMENT NUMBER: 137:279180
 TITLE: Process for enantioselective synthesis of oxazolidinone derivative as an intermediate for hydroxyalkyl substituted azetidinones
 INVENTOR(S): Fu, Xiaoyong; McAllister, Timothy L.; Thiruvengadam, T. K.; Tann, Chou-Hong
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 16 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079174	A2	20021010	WO 2002-US9123	20020325
WO 2002079174	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442219	A1	20021010	CA 2002-2442219	20020325
US 2002193607	A1	20021219	US 2002-105710	20020325
US 6627757	B2	20030930		
EE 200300464	A	20031215	EE 2003-464	20020325
EP 1373230	A2	20040102	EP 2002-728561	20020325
EP 1373230	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1500063	A	20040526	CN 2002-807537	20020325
BR 2002008384	A	20040615	BR 2002-8384	20020325
JP 2004532210	T2	20041021	JP 2002-577801	20020325
NZ 527852	A	20050324	NZ 2002-527852	20020325
AT 305459	E	20051015	AT 2002-728561	20020325
ES 2245733	T3	20060116	ES 2002-728561	20020325
US 2003204096	A1	20031030	US 2003-441391	20030520
ZA 2003006612	A	20040102	ZA 2003-6612	20030825
BG 108168	A	20040930	BG 2003-108168	20030909
HK 1057546	A1	20051223	HK 2004-100111	20040107
PRIORITY APPLN. INFO.:			US 2001-279288P	P 20010328
			US 2002-105710	A3 20020325
			WO 2002-US9123	W 20020325

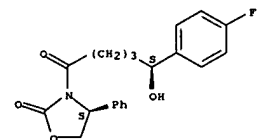
OTHER SOURCE(S): CASREACT 137:279180; MARPAT 137:279180
 GI

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB This invention pertains to a process for enantioselective synthesis of oxazolidinone I, in high yield and high chemoselectivity, as an intermediate for hydroxyalkyl substituted azetidinones that are useful as hypocholesterolemic agents in treatment and prevention of atherosclerosis (no data). For example, oxazolidinone (S)-II was reduced by BH3•THF in the presence of (R)-MeCBS to afford I (100%) with 95% de. The use of BH3•THF instead of traditional BH3•Me2S as a reducing agent minimizes the environmental issues caused by use of the Me2S complex. Reversing the addition sequence increased chemoselectivity in the reduction.

IT 189028-95-3P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (chemoselective reduction of disubstituted 1,5-pentanedione derivative)
 RN 189028-95-3 CAPLUS
 CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



Not

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:487559 CAPLUS
DOCUMENT NUMBER: 137:63115
TITLE: Preparation of diphenylazetidinone derivatives as hypolipidemic agents
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050068	A1	20020627	WO 2001-EPI4532	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DS, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064402	A1	20020627	DE 2000-10064402	20001221
DE 10154520	A1	20031002	DE 2001-10154520	20011107
CA 2431985	AA	20020627	CA 2001-2431985	20011211
AU 2002019173	A5	20020701	AU 2002-19173	20011211
EE 200300237	A	20030815	EE 2003-237	20011211
EP 1345932	A1	20030924	EP 2001-271371	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016482	A	20040203	BR 2001-16482	20011211
JP 2004516293	T2	20040603	JP 2002-551564	20011211
NZ 526592	A	20041126	NZ 2001-526592	20011211
US 2002128252	A1	20020912	US 2001-21028	20011219
US 6498156	B2	20021224		
ZA 2003004092	A	20040419	ZA 2003-4092	20030527
ZA 2003004095	A	20040419	ZA 2003-4095	20030527
NO 2003002733	A	20030814	NO 2003-2733	20030616
PRIORITY APPLN. INFO.:			DE 2000-10064402	A 20001221
			DE 2001-10154520	A 20011107
			WO 2001-EPI4532	W 20011211

OTHER SOURCE(S): MARPAT 137:63115
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The compds. are suited for use e.g. as hypolipidemic drugs. The invention discloses preparation of diphenylazetidinone deriva. such as I [R1, R2, R3, R4,

X

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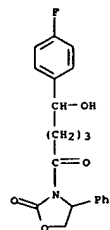
L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:487559 CAPLUS
DOCUMENT NUMBER: 137:63113
TITLE: Method for producing novel 1,2-diphenylazetidinones, medicaments containing them, and their use for treating disorders of lipid metabolism
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050027	A1	20020627	WO 2001-EPI4531	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DS, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064398	A1	20020627	DE 2000-10064398	20001221
DE 10152981	A1	20030508	DE 2001-10152981	20011026
CA 2431983	AA	20020627	CA 2001-2431983	20011211
AU 2002016097	A5	20020701	AU 2002-16097	20011211
EE 200300236	A	20030815	EE 2003-236	20011211
EP 1345895	A1	20030924	EP 2001-271353	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016325	A	20031014	BR 2001-16325	20011211
JP 2004516280	T2	20040603	JP 2002-551524	20011211
NZ 526593	A	20050225	NZ 2001-526593	20011211
US 2002137689	A1	20020926	US 2001-21502	20011219
US 6992067	B2	20060131		
ZA 2003004093	A	20040423	ZA 2003-4093	20030527
NO 2003002734	A	20030818	NO 2003-2734	20030616
US 2005267038	A1	20051201	US 2005-155109	20050617
PRIORITY APPLN. INFO.:			DE 2000-10064398	A 20001221
			DE 2001-10152981	A 20011026
			WO 2001-EPI4531	W 20011211
			US 2001-21502	A3 20011219

OTHER SOURCE(S): CASREACT 137:63113; MARPAT 137:63113
GI

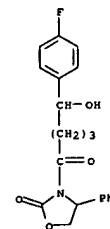
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
R5, R6 = CO-C30-alkylene-L (optionally contg. O, CO, CH:CH, C.tpbond.C, N(alkyl), N(alkylphenyl), NH), H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(alkyl), CONH2, CONH(alkyl), CON(alkyl)2, alkyl, alkenyl, alkynyl, O-alkyl, SO2NH2, SO2NH(alkyl), SO2N(alkyl)2, S-(alkyl), SO(alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(CH2)nPh, NH2, NH(alkyl), N(alkyl)2, NH(acyl), (un)substituted Ph, O(CH2)nPh; n = 0-6; L = I; R7, R9, R10 = Me, Et, Pr, butyl; R8 = H, OH, NH2, NH(alkyl), and their physiol. acceptable salts, for their use as hypolipidemic agents. Thus, 1,2-diphenylazetidinone deriv. III-trifluoroacetate (IV) was prepd. via a multistep synthetic sequence starting from 7-[3-(3-butyl-7-dimethylamino-1-methyl-4-hydroxy-1,1-dioxo-2,3,4,5-tetrahydro-1H-benzo[h]thiazepin-5-yl)-phenylcarbamoyl]-heptanoic acid and 4-(4-aminomethylphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxyphenyl]-azetidin-2-one. Azetidinone IV was tested for its cholesterol lowering ability [ED50 = 0.01 mg/mouse].
IT 439080-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
AB The invention relates to the compds. I [R1, R2, R3, R4, R5, R6 = CO-C30-alkylene-LAG (optionally containing O, CO, CH:CH, C.tpbond.C, N(C1-6-alkyl), N(C1-6-alkylphenyl), NH), H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(C1-6-alkyl), CONH, CONH(C1-6-alkyl), CON(C1-6-alkyl)2, C1-6-alkyl, C1-6-alkenyl, C1-6-alkynyl, O-(C1-6-alkyl), SO2NH2, SO2NH(C1-6-alkyl), SO2N(C1-6-alkyl)2, S-(C1-6-alkyl), SO(C1-6-alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(C1-6-alkyl), SO2(CH2)nPh, NH2, NH(C1-6-alkyl), N(C1-6-alkyl)2, NH(C1-6-acyl), (un)substituted Ph, O(CH2)nPh; LAG = sugar residue, di-, tri-, tetrasaccharide, carbohydrate acid, amino sugar, amino acid, oligopeptide (2 - 9 residues), (trialkylammonium)alkyl, OSO3H) and to their physiol. acceptable salts, suitable, for example, as hypolipidemics. Thus, 1,2-diphenylazetidinone II [R10 = CO(CH2)11NHCO(CHOH)4CH2OH] was prepared from (methoxyphenyl)azetidinone II (R10 = H) via N-acylation with 12-[(2,3,4,5,6-pentahydroxyhexanoyl)amino]dodecanoic acid. Azetidinone II was tested for its cholesterol lowering ability [ED50 = 0.003 mg/mouse].
IT 439080-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
RN 439080-96-3 CAPLUS
CN 2-Oxazolidinone, 3-[5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl- (9CI) (CA INDEX NAME)

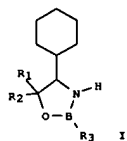


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:220599 CAPLUS
DOCUMENT NUMBER: 136:247693
TITLE: Preparation of 4-cyclohexyl-1,3,2-oxazaborolidines as enantioselective reduction catalysts in the reduction of prochiral ketones to secondary alcohols
INVENTOR(S): Draper, Richard W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2002022623 A1 20020321 WO 2001-US28293 20010910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KE, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, ME, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TS, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KE, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002038053 A1 20020328 US 2001-943127 20010830
US 6509472 B2 20030121
CA 2454999 A2 20030304 CA 2001-2421777 20040910
AU 2001088984 A5 20020326 AU 2001-88984 20010910
EP 1317461 A1 20030611 EP 2001-968760 20010910
EP 1317461 B1 20041103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004509125 T2 20040325 JP 2002-526874 20010910
AT 281462 E 20041115 AT 2001-968760 20010910
PT 1317461 T 20050228 PT 2001-968760 20010910
ES 2227264 T3 20050401 ES 2001-1968760 20010910
US 2000-231630P F 20000911
PRIORITY APPLM. INFO.: WO 2001-US28293 W 20010910

OTHER SOURCE(S): MARRPAT 136:247693
GI



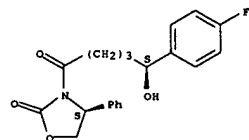
AB The preparation of 4-cyclohexyl-1,3,2-oxazaborolidines (I; wherein R1 = R2 =

L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2002:153916 CAPLUS
DOCUMENT NUMBER: 137:47059
TITLE: Synthesis of 3H, 14C and 13C6 labelled Sch 58235
AUTHOR(S): Hesk, D.; Bignen, G.; Lee, J.; Yang, J.; Voronin, K.; Magatti, C.; McNamara, P.; Koharski, D.; Hendershot, S.; Saluja, S.; Wang, S.
CORPORATE SOURCE: Schering Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2002), 45(2), 145-155
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:47059

AB 3H-Sch 58235 was prepared at a specific activity of 29.1 Ci/mmol by Ir(COD)(Cy3P)PyPF6, catalyzed exchange with tritium gas. 14C-Sch 58235 was prepared in three steps from p-hydroxy[ring-U-14C]benzaldehyde with an overall radiochem. yield of 21%. 13C6-Sch 58235 was similarly prepared in three steps from p-hydroxy[ring-U-13C6]benzaldehyde in an overall yield of 41%.

IT 189028-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 3H, 14C and 13C6 labeled Sch 58235)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

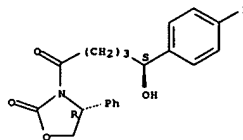


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

FORMAT

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
aryl, alkyl, cycloalkyl or aralkyl; R3 = H, alkyl, aryl, aralkyl, alkoxy] is described. The prepd. compds. are useful as catalysts in the enantioselective redn. of prochiral ketones to chiral secondary alcs. Thus, (R)-2-amino-2-cyclohexyl-1,1-diphenylethanol was reacted with trimethylboroxine to give (R)-4-cyclohexyl-5,5-diphenyl-2-methoxy-1-oxazaborolidine, which was used to reduce bromoacetophenone to give (R)-2-bromo-1-phenylethanol in 99% ee.
IT 404874-94-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 404874-94-8 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

FORMAT

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2001:224399 CAPLUS
DOCUMENT NUMBER: 134:252201
TITLE: Process for the synthesis of azetidinones
INVENTOR(S): Thiruvengadam, Thiruvettipuram K.; Fu, Xiaoyong; Tenn, Chou-hong; McAllister, Timothy L.; Chiu, John S.; Colon, Cesar
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
US 6207822 B1 20010327 US 1999-455482 19991205
PRIORITY APPLM. INFO.: US 1998-111249P P 19981207

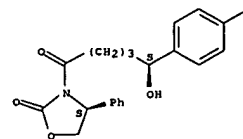
OTHER SOURCE(S): CASREACT 134:252201; MARRPAT 134:252201
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention provides a process for preparing the hypocholesterolemic compound I (R = H) from p-fluorobenzoylbutyric acid and pivaloyl chloride via intermediates II and III. Thus, reaction of p-fluorobenzoylbutyric acid with pivaloyl chloride and acylation of the product with a chiral auxiliary gave ketone II. II is reduced with BH3-Me2S in the presence of a chiral pyrrolidoxazaborolidine catalyst to an alc., which was treated with p-PC6H4N:CHC6H4OH-p, followed by silylation, to give the p-(substituted-amino)amide III. III was cyclized with tetrabutylammonium fluoride to obtain the protected lactam I (R = TMS), which was deprotected to give I (R = H).

IT 189028-95-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the synthesis of azetidinones)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



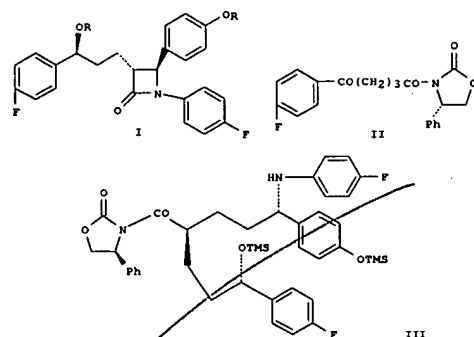
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:401788 CAPLUS
DOCUMENT NUMBER: 133:17327
TITLE: Process for the synthesis of asetidinones and
intermediates for use as hypocholesterolemic
Thiruvengadam, Tiruvettipuram K.; Fu, Xiaoyong; Tann,
Chou-Hong; Mcallister, Timothy L.; Chiu, John S.;
Colon, Cesar
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

check

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2000034240	A1	20000615	WO 1999-US27914	19991206
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2353981	AA	20000615	CA 1999-2353981	19991206
CA 2353981	C	20050426		
EP 1137634	A1	20011004	EP 1999-963973	19991206
EP 1137634	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2002531546	T2	20020924	JP 2000-586688	19991206
JP 3640888	B2	20050420		
CN 1130342	B	20031210	CN 1999-814140	19991206
AT 297892	E	20050715	AT 1999-963973	19991206
PT 1137634	T	20051031	PT 1999-963973	19991206
ES 2244238	T3	20051201	ES 1999-963973	19991206
ZA 2001004004	A	20020816	ZA 2001-4004	20010516
HK 1039487	A1	20050812	HK 2002-100567	20020124
JP 2005053931	A2	20050303	JP 2004-926244	20041109
PRIORITY APPLN. INFO.:			US 1998-206931	A 19981207
			JP 2000-586688	A3 19991206
			WO 1999-US27914	W 19991206

OTHER SOURCE(S): CASREACT 133:17327; MARPAT 133:17327
GI

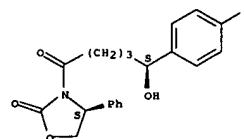


AB Process for preparing the hypocholesterolemic compound (I) by reacting p-fluorobenzoylbutyric acid with pivaloyl chloride, acylating the product with a chiral auxiliary to obtain a ketone of formula (II), reduction in the presence of a chiral catalyst to an alc., condensing the chiral alc. with an imine and a silyl protecting agent to give a β -(substituted-amino)amide of formula (III), cyclization with a silylating agent and a fluoride ion catalyst to a protected lactam of formula I (R = SiMe₃) (IV), and removal of the protecting groups is disclosed. The intermediates III and IV are also claimed.

IT 189028-95-39
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the synthesis of asetidinones and intermediates for use as hypocholesterolemic)

RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



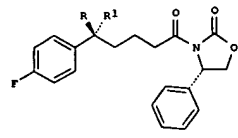
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

X

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:262687 CAPLUS
DOCUMENT NUMBER: 126:292505
TITLE: Stereoselective microbial reduction of 5-fluorophenyl-5-oxopentanoic acid and a phenyloxazolidinone condensation product thereof
INVENTOR(S): Homann, Michael J.; Previte, Edward
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5618707	A	19970408	US 1996-583166	19960104
CA 2231808	AA	19970403	CA 1996-2231808	19960926
WO 9712053	A1	19970403	WO 1996-US14836	19960926
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CM, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SE, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9673617	A1	19970417	AU 1996-73617	19960926
EP 862645	A1	19980909	EP 1996-935829	19960926
EP 862645	B1	20030205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI				
JP 3023179	B2	20000321	JP 1997-513488	19960926
JP 10512454	T2	19981202		
AT 232239	E	20030215	AT 1996-935829	19960926
ES 2191771	T3	20030916	ES 1996-935829	19960926
US 1995-4348P				P 19950927
US 1996-583166				A 19960104
WO 1996-US14836				W 19960926

OTHER SOURCE(S): CASREACT 126:292505
GI

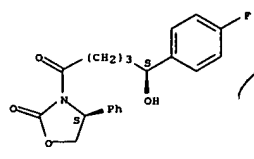


III RR1=O
IV R=OH, R1=H

AB A stereoselective reduction of 5-fluorophenyl-5-oxopentanoic acid (I) to

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(S)-5-fluorophenyl-5-hydroxypentanoic acid (II), which comprises adding I to a culture broth of Zygosaccharomyces bailii ATCC 38924, incubating the resulting mixt., and isolating II, is described. II is useful as an intermediate in the prepn. of 1-(4-fluorophenyl)-3(R)-[3(S)-hydroxy-3-(4-fluorophenyl)propyl]-4 (S)-(4-hydroxyphenyl)-2-azetidinone, which is a serum cholesterol lowering agent. Also described is a stereoselective redn. of III to IV using Schizosaccharomyces octosporus ATCC 2479.
IT 189028-95-3P
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective microbial reduction of 5-fluorophenyl-5-oxo-pentanoic acid and a phenyloxazolidinone condensation product thereof)
RN 189028-95-3 CAPLUS
CN 2-Oxazolidinone, 3-[(5S)-5-(4-fluorophenyl)-5-hydroxy-1-oxopentyl]-4-phenyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



by
reduction by
microbial

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	72.00	239.15
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-10.50	-10.50

STN INTERNATIONAL LOGOFF AT 14:27:44 ON 12 APR 2006